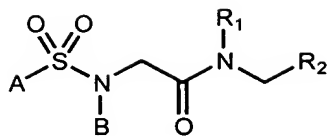


Claims

1. Compounds of formula (I)



Formula (I)

wherein:

- 10 A represents 4-ethylphenyl-, 4-isopropylphenyl-, 4-*tert*.-butylphenyl-, 2-methylphenyl-, 3-methylphenyl-, 4-cyclopropylphenyl-, 3-fluorophenyl-, 2-chlorophenyl-, 3-chlorophenyl-, 4-bromophenyl-, 2-trifluoromethylphenyl-, 3-trifluoromethylphenyl-, 4-(1-hydroxy-1-methyl-ethyl)-phenyl-, 3-chloro-4-methylphenyl-, 2-methoxy-4-methylphenyl-, 3,4-difluorophenyl-, 1,2,3,4-tetrahydroisoquinolin-7-yl, 2-methyl-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-formyl-1,2,3,4-tetrahydroisoquinolin-7-yl, phenylethenyl-, 1-naphthyl-, 2-naphthyl-, 3-methyl-pyridin-2-yl, 5-methyl-pyridin-2-yl, 5-isopropyl-pyridin-2-yl, 6-dimethylamino-pyridin-3-yl, 6-bromo-5-chloro-pyridin-3-yl or 8-quinolinyl-;

- B represents a phenyl, a 6-membered heteroaryl or a nine- or ten-membered bicyclic heteroaryl group, which groups are unsubstituted or independently mono- or di- substituted with cyano, halogen, hydroxy, lower alkyl, hydroxy lower alkyl, amino lower alkyl, aminocarbonyl lower alkyl, sulfonylamino lower alkyl, lower alkenyl, lower alkoxy, trifluoromethyl, trifluoromethoxy, cycloalkyloxy, aryloxy, aralkyloxy, heterocyclyloxy, heterocyclyl lower alkyloxy, amino, aminocarbonyl or sulfonylamino; or a cyclohexyl, 3-piperidinyl or 4-piperidinyl group, which groups are unsubstituted or mono-substituted with hydroxy, lower alkyl, hydroxy lower alkyl, aminocarbonyl lower alkyl, sulfonylamino lower alkyl, amino, aminocarbonyl or sulfonylamino;

with the proviso that in case A represents 2-methylphenyl- or 4-bromophenyl the phenyl ring as represented by B is substituted;

R¹ represents lower alkyl, cycloalkyl, hydroxy lower alkyl or cyano lower alkyl;

- 30 R² represents lower alkyl, lower alkenyl, hydroxy lower alkyl, amino lower alkyl, sulfonylamino lower alkyl, cycloalkyl; an unsubstituted or mono- or disubstituted phenyl group substituted independently with cyano, halogen, hydroxy, lower alkyl, lower alkoxy, cycloalkyloxy, amino, amino lower alkyl, aminocarbonyl or sulfonylamino; an unsubstituted

or mono- or di-substituted five- or six-membered heteroaryl group substituted independently with cyano, halogen, hydroxy, lower alkyl, lower alkoxy, cycloalkyloxy, amino, amino lower alkyl, aminocarbonyl or sulfonylamino; an unsubstituted or mono- or di-substituted nine- or ten-membered bicyclic heteroaryl group substituted independently with cyano, halogen, hydroxy, lower alkyl, lower alkoxy, cycloalkyloxy, amino, amino lower alkyl, aminocarbonyl or sulfonylamino;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

2. Compounds of formula (I) wherein:

A represents a 4-ethylphenyl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

3. Compounds of formula (I) wherein:

A represents a 4-isopropylphenyl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

4. Compounds of formula (I) wherein:

A represents a 4-*tert.*-butylphenyl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

5. Compounds of formula (I) wherein:

A represents a 2-methylphenyl group;

B has the meaning given in claim 1 with the proviso that the phenyl group is substituted;

R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and
5 the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

6. Compounds of formula (I) wherein:

A represents a 3-methylphenyl group;

B, R¹ and R² have the meaning given in claim 1;

10 and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

7. Compounds of formula (I) wherein:

15 A represents a 4-(1-hydroxy-1-methyl-ethyl)-phenyl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological
20 forms, thereof.

8. Compounds of formula (I) wherein:

A represents a 3-chloro-4-methylphenyl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and
25 the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

9. Compounds of formula (I) wherein:

A represents a 2-formyl-1,2,3,4-tetrahydroisoquinolin-7-yl group;

30 B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and

the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

10. Compounds of formula (I) wherein:

A represents a 2-naphthyl group;

5 B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

10 11. Compounds of formula (I) wherein:

A represents a 3-methyl-pyridin-2-yl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and
15 the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

12. Compounds of formula (I) wherein:

A represents a 5-isopropyl-pyridin-2-yl group;

B, R¹ and R² have the meaning given in claim 1;

20 and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological forms, thereof.

13. Compounds of formula (I) wherein:

25 A represents a 6-dimethylamino-pyridin-3-yl group;

B, R¹ and R² have the meaning given in claim 1;

and pure enantiomers, mixtures of enantiomers, pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates and the meso-form and pharmaceutically acceptable salts, solvent complexes, and morphological
30 forms, thereof.

14. A compound according to claim 1, selected from the group consisting of

2-[(4-tert-Butyl-benzenesulfonyl)-p-tolyl-amino]-*N,N*-diethyl-acetamide;

2-[(4-tert-Butyl-benzenesulfonyl)-(4-methoxy-phenyl)-amino]-*N,N*-diethyl-acetamide;

- 2-[(4-tert-Butyl-benzenesulfonyl)-(3-methoxy-phenyl)-amino]-*N,N*-diethyl-acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*m*-tolyl-amino]-*N,N*-diethyl-acetamide;
 2-[(6-Dimethylamino-pyridine-3-sulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-pyridin-2-ylmethyl-
 acetamide;
 5 *N*-Benzyl-2-[(4-tert-butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-pyridin-4-ylmethyl-
 acetamide;
N,N-Diethyl-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-acetamide;
N-Benzyl-*N*-ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-acetamide;
 10 *N*-Benzyl-*N*-ethyl-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-acetamide;
N-Benzyl-2-[(4-tert-butyl-benzenesulfonyl)-(2-methoxy-phenyl)-amino]-*N*-ethyl-
 acetamide;
N-Benzyl-*N*-ethyl-2-[(6-methoxy-pyridin-3-yl)-(naphthalene-2-sulfonyl)-amino]-
 acetamide;
 15 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-(2-hydroxy-ethyl)-acetamide;
 2-[(3-Chloro-4-methyl-benzenesulfonyl)-*p*-tolyl-amino]-*N,N*-diethyl-acetamide;
N-Benzyl-2-[(4-tert-butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-(2-hydroxy-ethyl)-
 acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-(2-cyano-ethyl)-*N*-ethyl-acetamide;
 20 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-pyridin-2-ylmethyl-
 acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-pyridin-3-ylmethyl-
 acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-(6-methyl-pyridin-2-
 25 ylmethyl)-acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-*p*-tolyl-amino]-*N*-ethyl-*N*-thiazol-2-ylmethyl-
 acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-(3-dimethylamino-phenyl)-amino]-*N,N*-diethyl-
 acetamide;
 30 2-[(4-tert-Butyl-benzenesulfonyl)-(3-dimethylamino-phenyl)-amino]-*N*-ethyl-*N*-pyridin-2-
 ylmethyl-acetamide;
 2-[(4-tert-Butyl-benzenesulfonyl)-(3-dimethylamino-phenyl)-amino]-*N*-ethyl-*N*-(6-
 methyl-pyridin-2-ylmethyl)-acetamide;

- 2-[(4-tert-Butyl-benzenesulfonyl)-p-tolyl-amino]-*N*-ethyl-*N*-(3-hydroxy-benzyl)-acetamide;
- 2-[(4-tert-Butyl-benzenesulfonyl)-(1H-indazol-6-yl)-amino]-*N*-ethyl-*N*-(6-methyl-pyridin-2-ylmethyl)-acetamide;
- 5 2-[(4-tert-Butyl-benzenesulfonyl)-(1H-indazol-6-yl)-amino]-*N*-ethyl-*N*-pyridin-2-ylmethyl-acetamide;
- 2-[(4-tert-Butyl-benzenesulfonyl)-p-tolyl-amino]-*N*-(2-hydroxy-ethyl)-*N*-pyridin-2-ylmethyl-acetamide;
- 2-[(4-tert-Butyl-benzenesulfonyl)-(3-dimethylamino-phenyl)-amino]-*N*-(2-hydroxy-ethyl)-*N*-pyridin-2-ylmethyl-acetamide;
- 10 2-[(4-tert-Butyl-benzenesulfonyl)-p-tolyl-amino]-*N*-cyclopropyl-*N*-(3-methoxy-benzyl)-acetamide;
- 2-[(4-tert-Butyl-benzenesulfonyl)-(3-dimethylamino-phenyl)-amino]-*N*-cyclopropyl-*N*-(3-methoxy-benzyl)-acetamide;
- 15 *N*-Ethyl-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-*N*-thiazol-2-ylmethyl-acetamide;
- N*-Benzyl-*N*-(2-hydroxy-ethyl)-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-acetamide;
- N*-Ethyl-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-*N*-pyridin-2-ylmethyl-
- 20 acetamide;
- N*-Ethyl-*N*-(3-hydroxy-benzyl)-2-[(2-methoxy-phenyl)-(toluene-2-sulfonyl)-amino]-acetamide;
- N*-Ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-*N*-(6-methyl-pyridin-2-ylmethyl)-acetamide;
- 25 *N*-Benzyl-*N*-(2-hydroxy-ethyl)-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-acetamide;
- N*-Ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-*N*-pyridin-3-ylmethyl-acetamide;
- N*-Ethyl-2-[(6-methoxy-pyridin-3-yl)-(toluene-2-sulfonyl)-amino]-*N*-pyridin-2-ylmethyl-
- 30 acetamide;
- N*-Ethyl-2-[(2-methoxy-phenyl)-(3-methyl-pyridine-2-sulfonyl)-amino]-*N*-(6-methyl-pyridin-2-ylmethyl)-acetamide;

N-Benzyl-*N*-ethyl-2-[(2-methoxy-phenyl)-(3-methyl-pyridine-2-sulfonyl)-amino]-acetamide;

2-[(4-*tert*-Butyl-benzenesulfonyl)-(6-methyl-pyridin-3-yl)-amino]-*N*-ethyl-*N*-pyridin-2-ylmethyl-acetamide;

5 *N*-Benzyl-2-[(4-*tert*-butyl-benzenesulfonyl)-(6-methyl-pyridin-3-yl)-amino]-*N*-ethyl-acetamide;

N-Ethyl-2-[(6-methoxy-pyridin-3-yl)-(3-methyl-pyridine-2-sulfonyl)-amino]-*N*-(6-methyl-pyridin-2-ylmethyl)-acetamide;

10 *N*-Benzyl-*N*-ethyl-2-[(6-methoxy-pyridin-3-yl)-(3-methyl-pyridine-2-sulfonyl)-amino]-acetamide;

15. Pharmaceutical compositions for the treatment of disorders which are associated with the role of orexin, comprising one or more compounds of any one of claims 1 to 14, or a pharmaceutically acceptable salt thereof, and usual carrier materials and adjuvants.

16. Pharmaceutical compositions for the treatment of eating disorders, sleep disorders,
15 cardiovascular disorders, cancer, pain, depression, anxiety, schizophrenia, neurodegenerative disorders or hyperthermia syndromes, comprising one or more compounds of any one of claims 1 to 14, or a pharmaceutically acceptable salt thereof, and usual carrier materials and adjuvants.

17. The compounds of any one of claims 1 to 14, or a pharmaceutically acceptable salt
20 thereof, for use as medicaments for the treatment of disorders which are associated with a role of orexins.

18. The compounds of any one of claims 1 to 14, or a pharmaceutically acceptable salt thereof, for use as medicaments for the treatment of eating disorders, sleep disorders,
25 cardiovascular disorders, cancer, pain, depression, anxiety, schizophrenia, neurodegenerative disorders or hyperthermia syndromes.

19. A method of treating or preventing diseases or disorders where an antagonist of human orexin receptors is required, which comprises administering to a subject in need thereof an effective amount of a compound as claimed in any one of claims 1 to 14, or a pharmaceutically acceptable salt thereof.

30 20. A process for the manufacture of pharmaceutical compositions for the treatment of disorders mentioned in claim 15 or 16, containing one or more compounds as claimed in any one of claims 1 to 14, or a pharmaceutically acceptable salt or salts thereof, as active

ingredients which process comprises mixing one or more active ingredient or ingredients with pharmaceutically acceptable excipients and adjuvants in a manner known per se.

21. Use of one or more compounds of any one of claims 1 to 14 in combination with other pharmacologically active compounds comprising other orexin receptor antagonists, lipid
5 lowering agents, anorectic agents, sleep inducing agents, antidepressants or other drugs beneficial for the prevention or treatment of disorders given in any one of claims 15 to 19.
22. A compound as described as end-product in any one of examples 1 to 209.

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